

Access DB# 103983

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Ben Sackey Examiner #: 73489 Date: 9/15/03
Art Unit: 1624 Phone Number: 305-6889 Serial Number: 101066, 807
Mail Box and Bldg. Room Location: CM1 3819 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: _____

Inventors (please provide full names): _____

Earliest Priority Filing Date: _____

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Search selected entries in
Drug Data reports (File 452)

STAFF USE ONLY

	Type of Search	Vendors and cost where applicable
Searcher <u>P. Schwab</u>	NA Sequence (#) _____	STN <u>186,80</u>
Searcher Phone # <u>308-4292</u>	AA Sequence (#) _____	Dialog _____
Searcher Location <u>CM1 6A03</u>	Structure (#) _____	Questel/Other _____
Date Searcher Assigned <u>9/16</u>	Bibliographic <input checked="" type="checkbox"/>	Dr. Link _____
Date Completed _____	Litigation _____	Lexis Nexis _____
Searcher Prep & Review Time <u>14</u>	Fulltext _____	Sequence Systems _____
Client Prep Time _____	Patent Family _____	WNA/Internet _____
Indexing Time <u>118</u>	Other _____	Other Specialty _____

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3/14/4

DIALOG(R) File 452: Drug Data Report

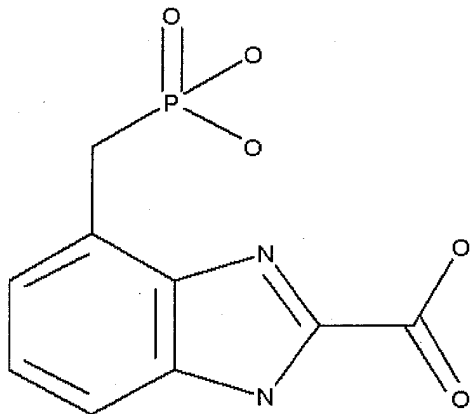
(c) 2003 Prous Science. All rts. reserv.

AZ - 00198235

AA - 198235 (Preferred)

MF - C9H9N2O5P

CN - 4-(Phosphonomethyl)-1H- benzimidazole -2- carboxylic acid



ST - Biological Testing

OR - Pharmacia

TC - 12452 (Stroke, Treatment of)

12455 (NMDA Antagonists)

RE - 200776 (secondary)

200777 (secondary)

200778 (secondary)

200779 (secondary)

200780 (secondary)

200781 (secondary)

200782 (secondary)

200783 (secondary)

200784 (secondary)

200785 (secondary)

200786 (secondary)

200787 (secondary)

200788 (secondary)

200789 (secondary)

TX - ACTION: Agent for the treatment of neurotoxic injury associated with anoxia or ischemia following stroke, cardiac arrest or perinatal asphyxia; an NMDA receptor antagonist with a $K_i = 1.6$ μ M in the (3H)-glutamate binding assay, whereas K_i was > 100 μ M when using (3H)-kainate as the ligand. Significant in vivo antiischemic activity was demonstrated in a gerbil forebrain ischemia assay when given intraperitoneally at doses of 300 and 500 mg/kg, 30 min prior to carotid occlusion. Compound also exhibited anticonvulsant activity, as demonstrated by inhibiting electroconvulsive shock in mice and by protecting against motor function impairment at a dose of 56 mg/kg s.c. A representative compound from a wide series of specifically claimed diacid-containing benzimidazole derivatives, wherein the following are included: 200776, 200777, 200778, 200779, 200780, 200781, 200782, 200783,

200784, 200785, 200786, 200787, 200788, 200789. (Drug Data Report, Vol. 15, No. 10, p. 907, 1993)

PU - Drug Data Report, Vol. 15, No. 10, p. 907, 1993

PI - DIACID-CONTAINING BENZIMIDAZOLE COMPOUNDS FOR TREATMENT OF
NEUROTOXICINJURY

AUTHOR(s): Vazquez, M.L.

APPLICANT(s): Pharmacia

FAMILY: 5,216,003 [US 5216003] United States of America, June
1, 1993

PRIORITY: 2-816,207 [US 816207] United States of America,
January 2, 1992

?e aa=315794

Ref	Items	Index-term
E1	1	AA=315792
E2	1	AA=315793
E3	1	*AA=315794
E4	1	AA=315795
E5	1	AA=315796
E6	1	AA=315803
E7	1	AA=315806
E8	1	AA=315807
E9	1	AA=315808
E10	1	AA=315809
E11	1	AA=315810
E12	1	AA=315811

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?s aa=315794

S4 1 AA=315794

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DIALOG(R) File 452: Drug Data Report

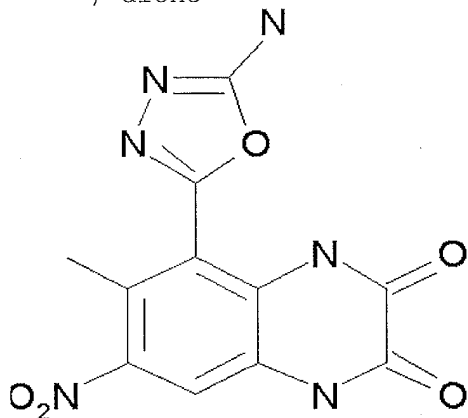
(c) 2003 Prous Science. All rts. reserv.

AZ - 00315794

AA - 315794 (Preferred)

MF - C11H8N6O5

CN - 5-(5-Amino-1,3,4-oxadiazol-2-yl)-6-methyl-7-nitroquinoxaline-2,3(1H,4H)
)-dione



ST - Biological Testing
OR - Pfizer
TC - 9200 (Cognition Disorders, Treatment of)
33456 (Ischemic Stroke, Treatment of)
12457 (AMPA Antagonists)
RE - 315795 (secondary)
TX - ACTION: Glutamate antagonist with in vitro activity against AMPA receptors and the glycine site of NMDA receptors. Potentially useful for the treatment of cerebral ischemia, chronic neurodegenerative disorders including Alzheimer's disease, Parkinson's disease and Huntington's disease, seizure disorders, schizophrenia, anxiety, pain and drug abuse. Another exemplified quinoxaline-2,3-dione derivative is: 315795. (Drug Data Report, Vol. 24, No. 4, p. 313, 2002)
PU - Drug Data Report, Vol. 24, No. 4, p. 313, 2002
PI - CONFORMATIONALLY SEMI-CONSTRAINED QUINOXALINE 2,3-DIONES
AS NEUROPROTECTIVE AGENTS
AUTHOR(s): Rafferty, M.F., Kornberg, B.E., Nikam, S.S.
APPLICANT(s): Pfizer
FAMILY: 6,340,758 [US 6340758] United States of America, January 22, 2002
PRIORITY: 2-46,626 [US 46626] United States of America, May 16, 1997
2-25,295 [US 25295] United States of America, February 13, 1998
2-199,627 [US 199627] United States of America, November 25, 1998

?s aa=225249

S5 1 AA=225249

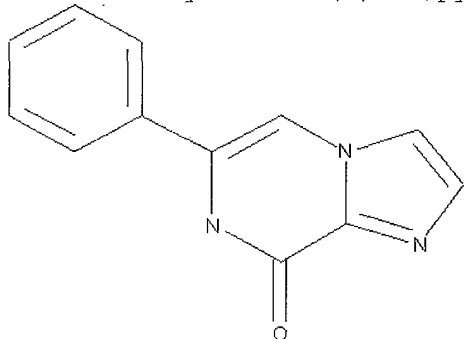
?t 5/14/1

5/14/1

DIALOG(R) File 452: Drug Data Report

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AZ - 00225249
AA - 225249 (Preferred)
MF - C12H9N3O
CN - 6-Phenylimidazo(1,2-a)pyrazin-8(7H)-one

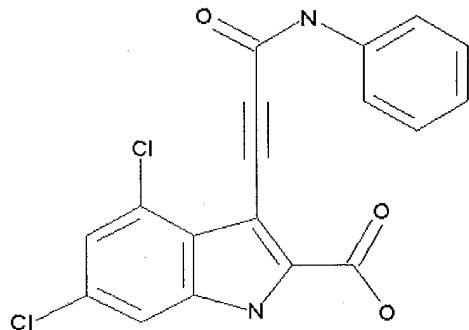


ST - Biological Testing
OR - Aventis Pharma
TC - 9200 (Cognition Disorders, Treatment of)
11100 (Antiparkinsonian Drugs)
12452 (Stroke, Treatment of)

12455 (NMDA Antagonists)
 12457 (AMPA Antagonists)
 RE - 227609 (secondary)
 227610 (secondary)
 227611 (secondary)
 227612 (secondary)
 TX - ACTION: Noncompetitive antagonist at the glycine site of the
 NMDA receptor, potentially useful for the treatment and prophylaxis
 of cerebral ischemic/anoxic disorders, and for the treatment
 of neurodegenerative disorders such as parkinsonism and Alzheimer's disease,
 as well as epilepsy, schizophrenia and migraine. Other exemplified
 imidazopyrazinones include the following: 227609, 227610, 227611, 227612.
 (Drug Data Report, Vol. 17, No. 11, p. 989, 1995)
 PU - Drug Data Report, Vol. 17, No. 11, p. 989, 1995
 PI - 7H-IMIDAZO(1,2-A)PYRAZINE-8-ONE NMDA RECEPTOR ANTAGONISTS
 AUTHOR(s): Aloup, J.-C., Mignani, S., Jimonet, P., Audiau, F.,
 Damour, D., Genevois-Borella, A.
 APPLICANT(s): Aventis Pharma
 FAMILY: 0726900 [EP 0726900] European Patent Office, August
 21, 1996
 6-97504539 [JP 697504539] Japan, May 6, 1997
 WO95-12594 [WO 9512594] W.I.P.O., May 11, 1995
 PRIORITY: 13164 [FR 9313164] France, November 5, 1993
 ?s aa=240624
 S6 1 AA=240624
 ?t 6/14/1

6/14/1
 DIALOG(R) File 452: Drug Data Report
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AZ - 00240624
 AA - 240624 (Preferred)
 MF - C18H10Cl2N2O3
 CN - 4,6-Dichloro-3-(N-phenylcarbamoyl ethynyl)-1H-indole-2-carboxylic acid
 RN - 153436-32-9



ST - Preclinical
 OR - GlaxoSmithKline
 TC - 12452 (Stroke, Treatment of)
 12455 (NMDA Antagonists)
 TX - ACTION: An NMDA antagonist acting at the
 strychnine-insensitive glycine binding site and structurally related to
 GV-150526, for use in the treatment of CNS disorders such as stroke,
 Huntington's disease, Alzheimer's disease and neurotrauma. Its affinity (pKi

=7.7) is inferior to that of GV-150526 (pKi = 8.5), but it displayed good in vivo activity in mice against NMDA-induced convulsions (ED50= 0.2 mg/kg i.v.; ED50 GV-150526 = 0.06 mg/kg i.v.). (Drug Data Report, Vol. 18, No. 11, p. 966, 1996)

PU - Drug Data Report, Vol. 18, No. 11, p. 966, 1996

PI - INDOLE ANTAGONISTS OF EXCITATORY AMINO ACIDS

AUTHOR(s): Gaviraghi, G., Cugola, A.

APPLICANT(s): GlaxoSmithKline

FAMILY: 1006343 [BE 1006343] Belgium, July 26, 1994
685630 [CH 685630] Switzerland, August 31, 1995
0568136 [EP 0568136] European Patent Office,
November 3, 1993
2105924 [ES 2105924] Spain, October 16, 1997
2690919 [FR 2690919] France, November 12, 1993
2266091 [GB 2266091] Great Britain, October 20,
1993
6-94049027 [JP 694049027] Japan, February 22, 1994
5,373,018 [US 5373018] United States of America,
December 13, 1994
5,374,648 [US 5374648] United States of America,
December 20, 1994
5,374,649 [US 5374649] United States of America,
December 20, 1994
WO93-21153 [WO 9321153] W.I.P.O., October 28, 1993
PRIORITY: 928492 [GB 928492] Great Britain, April 16, 1992

RF - Di Fabio, R. et al., "3-Alkynyl-2-carboxyindoles as a novel class of antagonists acting at the strychnine-insensitive glycine binding site", 14th Int Symp Med Chem (Sept 8-12, Maastricht) 1996, Abst P-6.17

?s aa=257448

S7

1 AA=257448

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DIALOG(R) File 452: Drug Data Report

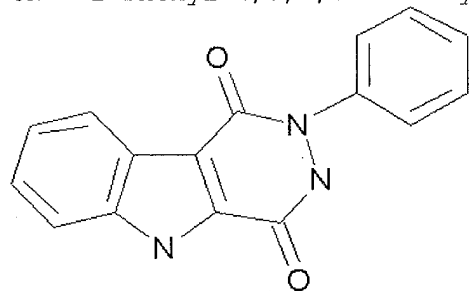
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AZ - 00257448

AA - 257448 (Preferred)

MF - C16H11N3O2

CN - 2-Phenyl-2,3,4,5-tetrahydro-1H-pyridazino(4,5-b)indole-1,4-dione



ST - Biological Testing

OR - Merck Sharp & Dohme

TC - 9200 (Cognition Disorders, Treatment of)

10000 (Antiepileptic Drugs)

11100 (Antiparkinsonian Drugs)

33456 (Ischemic Stroke, Treatment of)
12455 (NMDA Antagonists)

TX - ACTION: Selective and noncompetitive NMDA receptor antagonist that preferentially binds to the strychnine-insensitive glycine binding site associated with the NMDA receptor complex. Compound blocked the response to NMDA in rat cortex slices ($K_b < 150 \text{ mM}$) and displaced (3H)-L-689560 binding to the strychnine-insensitive site in rat forebrain membranes ($IC_{50} < 50 \text{ mM}$). Potentially useful in the treatment or prevention of neurodegenerative disorders such as stroke, cerebral ischemia, epilepsy, Huntington's chorea, Alzheimer's disease, Parkinson's disease and anoxia. (Drug Data Report, Vol. 20, No. 2, p. 121, 1998)

PU - Drug Data Report, Vol. 20, No. 2, p. 121, 1998

PI - PYRIDAZINO-INDOLE DERIVATIVES

AUTHOR(s): Macleod, A.M., Ladduwahetty, T.

APPLICANT(s): Merck Sharp & Dohme

FAMILY: 5,693,640 [US 5693640] United States of America,
December 2, 1997

PRIORITY: 9411955 [GB 9411955] Great Britain, June 15, 1994

?s phenylsulfanyl/cn

S8 300 PHENYLSULFANYL/CN

?s s8 and quinolin

300 S8

2069 QUINOLIN

S9 8 S8 AND QUINOLIN

?s s9 and chloro/cn

8 S9

9353 CHLORO/CN

S10 4 S9 AND CHLORO/CN

?t 10/k/1-4

10/K/1

DIALOG(R) File 452:(c) 2003 Prous Science. All rts. reserv.

CHEM NAME: 2-(3-(9-Chloro -4-oxo-3-(phenylsulfanyl)-4,5-dihydroisoxa
zolo(4,3-c) quinolin -5-yl)phenyl)-N-(3,4,5-trimethoxyphenyl
)acetamide

10/K/2

DIALOG(R) File 452:(c) 2003 Prous Science. All rts. reserv.

CHEM NAME: 7-Chloro -4-hydroxy-3-(phenylsulfanyl) quinolin -2(1H)-on
e

10/K/3

DIALOG(R) File 452:(c) 2003 Prous Science. All rts. reserv.

CHEM NAME: 1-(4-Chloro -3-(phenylsulfanyl) quinolin -2-yl)-4-(2-naph
thylmethyl)piperazine

10/K/4

DIALOG(R) File 452:(c) 2003 Prous Science. All rts. reserv.

CHEM NAME: N-(1-Benzylpiperidin-4-yl)-N-(4-chloro -3-(phenylsulfanyl
) quinolin -2-yl)amine

?t 10/14/2

10/14/2

DIALOG(R) File 452:Drug Data Report

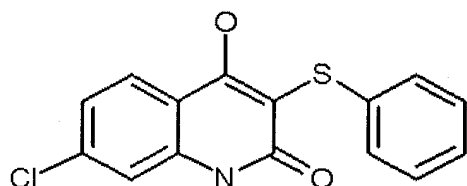
(c) 2003 Prous Science. All rts. reserv.

AZ - 00269005

AA - 269005 (Preferred)

MF - C15H10ClNO2S

CN - 7- Chloro -4-hydroxy-3-(phenylsulfanyl) quinolin -2(1H)-one



ST - Biological Testing

OR - Korea Res. Inst. Chem. Technol.

TC - 12450 (Cerebrovascular Diseases, Treatment of)

12455 (NMDA Antagonists)

RE - 269006 (secondary)

269007 (secondary)

269008 (secondary)

269009 (secondary)

269010 (secondary)

269011 (secondary)

269012 (secondary)

269013 (secondary)

269014 (secondary)

269015 (secondary)

TX - ACTION: Potent and specific antagonist at the strychnine-insensitive glycine binding site on the NMDA receptor complex, reported to possess good CNS penetration and high solubility. Claimed for the treatment or prevention of ischemic, hypoxic or hypoglycemic CNS damage, neurodegenerative disorders such as Alzheimer's disease, Huntington's disease, Parkinson's disease, epilepsy and stroke, as well as for use as an anticonvulsant, analgesic, antidepressant, anxiolytic and antipsychotic agent. A representative compound from a series of quinolinic sulfide derivatives, wherein the following are also included: 269006, 269007, 269008, 269009, 269010, 269011, 269012, 269013, 269014, 269015. (Drug Data Report, Vol. 20, No. 11, p. 932, 1998)

PU - Drug Data Report, Vol. 20, No. 11, p. 932, 1998

PI - QUINOLINIC SULFIDE DERIVATIVES ACTING AS NMDA RECEPTOR ANTAGONISTS AND PROCESS FOR PREPARATION THEREOF

AUTHOR(s): Kong, J.Y., Jung, Y.S., Lee, C.W., Choi, S.W., Park, N.S., Seong, C.M., Chon, J.I., Chung, Y.J., Park, W.K.

APPLICANT(s): Korea Res. Inst. Chem. Technol.

FAMILY: 0869122 [EP 0869122] European Patent Office,
October 7, 1998
6-98310575 [JP 698310575] Japan, November 24, 1998

5,990,126 [US 5990126] United States of America,
November 23, 1999
PRIORITY: 11958 [KR 9711958] N/A, March 31, 1997
13818 [KR 9713818] N/A, April 15, 1997
58546 [KR 9758546] N/A, November 6, 1997

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